

D1



Europäisches Patentamt  
European Patent Office  
Office européen des brevets



Publication number:

0 498 463 A1

2

## EUROPEAN PATENT APPLICATION

1 Application number: 92102104.4

51 Int. Cl.<sup>5</sup>: A23G 3/00, A23G 3/30,  
A61K 7/16

22 Date of filing: 07.02.92

30 Priority: 07.02.91 JP 102202/91

43 Date of publication of application:  
12.08.92 Bulletin 92/33

34 Designated Contracting States:  
CH DE FR GB LI NL

71 Applicant: AJINOMOTO CO., INC.  
15-1, Kyobashi 1-chome, Chuo-ku  
Tokyo 104(JP)

72 Inventor: Suzuki, Soji, Cen.Res.Lab.Ajinomoto  
Co.,Inc.  
No. 1-1 Suzuki-cho, Kawasaki-ku  
Kawasaki-shi, Kanagawa-ken(US)  
Inventor: Harada, Tsutomu,  
Cen.Res.Lab.Ajinomoto Co.,Inc.  
No. 1-1 Suzuki-cho, Kawasaki-ku  
Kawasaki-shi, Kanagawa-ken(US)

74 Representative: Strehl, Schübel-Hopf,  
Groening  
Maximilianstrasse 54 Postfach 22 14 55  
W-8000 München 22(DE)

54 Release-sustained composition for the application to the oral cavity.

57 There is provided a novel composition for oral cavity application to which sustained release property is imparted so as to effectively exhibit the effects of a component for preventing bad odor from the oral cavity and/or a flavor component and/or a dental anti-carries component in the oral cavity.

By incorporating a  $\beta$ -2,1 linked fructose polymer as a polysaccharide together with a sweetener component, a component for preventing bad odor from the oral cavity and/or a flavor component and/or a dental anti-carries component, the residence time of the effective components described above can be prolonged in the oral cavity to enhance the effects and the residence time can also be controlled. That is, the composition for oral cavity application is characterized by sustained release of the effective components described above.

EP 0 498 463 A1

The present invention relates to a composition for the application to the oral cavity comprising  $\beta$ -2,1 linked fructose polymer together with an active component, selected from a sweetener component, a component for preventing the development of bad odor in the oral cavity and/or a flavor component and/or a dental anti-caries component.

In prior compositions such as a candy, a chewing gum etc. used for application to the oral cavity, which comprise a component for preventing the development of bad odor in the oral cavity and/or a flavor component and/or a dental anti-caries component, only sugars such as sucrose, glucose, sorbitol and the like have been used as bulking agents for these compositions, although it depends on foods in which the fructose polymers are used. At the same time, sweetness has been imparted by the sugars mentioned above.

When these sugars are used as bulking agents of effective components, however, the active components, whose effects are basically exhibited when they are retained in the oral cavity for a long time dissolve rapidly and are swallowed with sugars so that it is difficult to retain the active components over a long period of time in oral cavity. Therefore, the effects cannot be sufficiently exhibited.

On the other hand, fructose polymer is known to have a physiologically functional property as mentioned in Japanese Published Unexamined Patent Application No. 61-13779. It is thus expected to apply fructose polymer to health food diets, etc. However, fructose polymer has not been effectively applied to any food based on its physical properties and functional properties.

An object of the present invention is to provide a novel composition applicable to the oral cavity which can compensate for the aforesaid defects of compositions for application to the oral cavity, and can prolong the residence time of active components in the oral cavity, whereby the effects of a component for preventing bad odor from the oral cavity and/or a flavor component and/or a dental anti-caries component can be effectively exhibited.

In order to solve the problem, the present inventors have made extensive investigations based on such a hypothesis that if a polysaccharide having a sustained release property and having agreeability to the palate can be incorporated into the composition for application to the oral cavity such as candy and a chewing gum, the residence time in the oral cavity can be prolonged and controlled. As a result, it has been found that the problem can be solved by the following formulation. The problem has thus come to be solved.

It has been found that when  $\beta$ -2,1 linked fructose polymer is incorporated as bulking agents together with one or more active component(s), such as a sweetener component, a component for preventing bad odor development from the oral cavity and/or a flavor component and/or a dental anti-caries component, the residence time of the effective components described above in the oral cavity can be prolonged compared to a conventional composition for application to oral cavity whereby the effects can be enhanced and the residence time can be controlled, that is, the release of these active components can be sustained. The present invention has thus been accomplished.

Upon practice of the present invention, where a dental anti-caries component is contained, it is better not to use sugars such as glucose, sucrose, etc. because they cause the dental caries. It is therefore preferred to use a mixture of a sweetener having a high degree of sweetness which does not cause dental caries, for example,  $\alpha$ -L-aspartyl-L-phenylalanine methyl ester (hereafter simply referred to as Aspartame), stevioside, glycyrrhizine, Saccharine, Acesulfam K, etc. or a mixture thereof, etc., and  $\beta$ -2,1 linked fructose polymers as bulking agents.

When a sweetener having a high degree of sweetness is used as the sweetener component, it is sufficient to add the sweetener generally in an amount corresponding to the same sweetness as that of sugars such as sucrose to be replaced, or add the bulking agents composition corresponding to the same sweetness degree as that of sugars such as sucrose to be replaced. Basically, the amount of the sweetener composition used is determined depending upon the size of the composition for oral cavity application, and the amount of sweetener component to be replaced, such as sucrose.

Next the component for preventing the release of bad odor from the oral cavity which is used in the present invention comprises one or more medical plants such as rosemary or sage which have a deodorant effect on bad odorous components like methylmercaptan, etc.; seaweeds selected from *Eisenia bicyclis*, *Hijikia fusiformis*, *Sargassum fulvellum*, *Chondrus ocellatus*, *Gracilaria confervoides*, *Nemacystus* and *Undaria pinnatifida* and mace which also exhibit an effect of preventing periodontal disease, etc., as well as solvent extracts of these materials, purified products of these extracts and/or living organisms and/or dried products thereof. The amount of these materials used is in the range of 0.001 to 50 wt% based on the final product.

As the flavor component which can be used in the present invention, there may be used any flavors which are conventionally used in compositions for application such as a chewing gum to the oral cavity,

which are retained in the oral cavity to exhibit a favorable flavor, for example, a flavor of citrus, coffee, tea, mint, herbs, etc.

Next, the anti-dental caries component which can be used in the present invention may be dextranase or mutanase which is an enzyme for decomposing dental plaque. As the dextranase, there may be used dextranase obtained by known methods from known dextranase-producing bacteria belonging to *Klebsiella* sp., *Paniculum* sp., *Aspergillus* sp., *Spicaria* sp., *Lactobacillus* sp., *Cellulivino* sp., etc. This enzyme decomposes  $\alpha$ -1,6-glucan which is a kind of dental plaque and is incorporated generally in an amount of 100 to 100,000 units per g of the product, when calculated as enzyme.

As the mutanase, there may be used mutanase obtained by known methods from known mutanase-producing bacteria belonging to the *Pseudomonas* sp., *Trichoderma* sp., *Cladosporium* sp., *Flavobacterium* sp., *Streptomyces* sp., etc. This enzyme decomposes  $\alpha$ -1,3-glucan which is a kind of dental plaque and is incorporated generally in an amount of 50 to 10,000 units per g of the product, when calculated as enzyme.

Next, the  $\beta$ -2,1 linked fructose polymer of the present invention refers to a fructose polymer having a  $\beta$ -2,1 bond, for example, inulin, fructose polymer produced by *Aspergillus sydowii*, etc. or a mixture thereof. Its molecular weight distribution is in the range of 2,000 to 50,000,000, preferably 10,000 to 15,000,000. The amount added is in the range of 1 to 50 wt%, preferably 2 to 30%, based on the final product. With less than 1 wt%, a sufficient effect is not exhibited. Further when the amount exceeds 50%, it is not the case that the polymer may not be used but one would taste a somewhat hard texture though it depends on individual preference of texture. Such a high amount gives an oral cavity composition which might have no agreeability to the palate of consumers. In preparing these oral cavity compositions, the fructose polymer may be used in a powdery form or may be suspended in water, etc. and the suspension and/or solution in water may be used. The form of the fructose polymer may be chosen depending upon its preparation.

The present inventors have studied the control of residence time in the oral cavity as described above by polysaccharides, namely, the effect of imparting sustained release, using a variety of polysaccharides including the fructose polymer. Where it is attempted to impart similar effects, however, polydextrose, gums such as guar gum, other polysaccharides such as starch could not be used because these substances are very hygroscopic, are very slow in dispersion in water, are extremely high in viscosity, are insoluble in water, are gelatinised, or cause an undesired taste with astringency, and a different flavor, etc.

However, it is revealed that the  $\beta$ -2,1 linked fructose polymer used in the present invention has characteristic properties such as a low hygroscopic property, provides an extremely low viscosity when dispersed in water, is gradually dispersed but is free of marked swelling and volume change when dispersed, is quite free of an undesired taste and flavor at all, shows no paste-like texture inherent to other polysaccharides but gives an extremely smooth dispersion, as compared to the aforesaid polysaccharides. That is, it is revealed that the physical properties and textural preference are equivalent to conventional products using sugars, when the fructose  $\beta$ -2,1 linked polymer is used in the oral cavity composition.

Surprisingly, it is also revealed that when the fructose polymer having such properties is incorporated into the oral cavity composition, for example, a candy, malt syrup, a cake tablet, a troche, etc. in preparation thereof, it is possible to control the solubility of these products, especially dissolution velocity alone, by increasing or decreasing the amount of the fructose polymer added. That is, the residence time of the oral cavity composition can be controlled to a desired time period depending upon the amount of addition of  $\beta$ -2,1 linked fructose polymer. Furthermore, it is not observed that the readily soluble component in the oral cavity composition dissolves out predominantly. Therefore, it is realized that the component for preventing bad odor from the oral cavity and/or flavor component and/or anti-dental caries component in accordance with the present invention can be retained for a long time period sufficient to exhibit the function of the effective components satisfactorily, which was impossible in the prior art. That is, these components can be retained in the oral cavity in such a state that the components are imparted by sustained release.

Therefore, the oral cavity composition using the  $\beta$ -2,1 linked fructose polymer does not adversely affect the quality, namely, physical properties such as hygroscopic property, etc. and organoleptic preference. The oral cavity composition not only gives preference equivalent to conventional products using sugars but also provides oral cavity compositions such as a candy, malt syrup, a cake tablet, a troche, etc. which were not provided in the prior art. The oral cavity composition is not limited to those shown above but also applicable to a chewing gum, a throat troche, a drop candy, a tooth paste, gargle for deodorization, a drug for stomatitis, etc.

#### [Effects of the Invention]

According to the present invention, there is provided the oral cavity composition, for example, a candy,

mult syrup, a cake tablet, a lozenge, etc., which is characterized by containing the  $\beta$ -2,1 linked fructose polymer together with a sweetener component, a component for preventing bad odor from the oral cavity and/or a flavor component and/or a dental anti-caries component, whereby the effects of the effective components described above can be enhanced.

Next, the present invention is specifically described by referring to the examples below.

#### Example 1

A cake tablet having a coffee flavor was prepared in the following formulation by way of experiment.

For control, glucose was used. In Test groups, fructose polymer produced by Aspergillus sydowi was used in amounts of 50% (Test Group 1) and 25% (Test Group 2), in place of glucose.

Control Group	
Glucose	92.7 %
Aspartame	0.8
Instant coffee powder	0.6
Coffee flavor	0.2
Sodium aspartate	0.06

The raw materials were blended, kneaded and then sieved through a sieve of 50 mesh followed by molding 2.0 g at 60 atms for 2 minutes. Where the fructose polymer described above was substituted by 50%, 46.4% of glucose and 46.3% of fructose polymer were used. In the cases of 25% substitution, 69.5% of glucose and 23.2% fructose polymer were used.

#### Example 2

The solubility in Control Group, Test Group 1 and Test Group 2 prepared by way of experiment was examined in terms of dissolution velocity in distilled water at 40 °C as a model of the oral cavity.

Control Group: 1.5 minutes

Test Group 1: 150 minutes

Test Group 2: 12.5 minutes

From the foregoing results, the residence time of the test groups in the oral cavity is prolonged to more than 10 times. In addition, the solubility can be controlled by changing the amount of the fructose polymer formulated, whereby the coffee flavor was gradually released.

#### Example 3

In addition to Control Group, Test Group 1 and Test Group prepared by way of experiment above, Test Group 3 was prepared by way of experiment wherein polydextrose was substituted for 50% of glucose. With respect to four groups in total, hygroscopic property under relative humidity of 58% was examined in terms of weight increase by adsorption of humidity and change in appearance.

Control Group: hygroscopic rate (increase of weight) 0.5%; no change in appearance

Test Group 1: hygroscopic rate 1.9%; no change in appearance

Test Group 2: hygroscopic rate 1.2%; no change in appearance

Test Group 3: hygroscopic rate 4.9%; deliquescent on the surface

From the foregoing results, it is considered that there is no difference in hygroscopic property between the test groups using the  $\beta$ -2,1 linked fructose polymer and the control group using glucose.

#### Example 4

Next, Test Group 1 and Test Group 2 were subjected to organoleptic evaluation (panel persons: 10) and compared to Control Group. The evaluation was made in terms of (1) dissolution time in the oral cavity, (2) quality of taste and (3) preference. (the number of persons who chose it as the best one)

Test Group 1: (1) 10 minutes; (2) no difference from the control group; (3) preference: 6

Test Group 2: (1) 6.5 minutes; (2) no difference from the control group; (3) preference: 8

Control Group: (1) 3.5 minutes; (2) -; (3) preference: 5

As described above, where the fructose polymer was used, a table cake having a coffee flavor with

sustained release could be prepared without changing organoleptic or sensory preference.

# Claims

- 5 1. A composition for the application to the oral cavity comprising  $\beta$ -2,1 linked fructose polymer together with one or more active component(s).
2. A composition according to claim 1, comprising an active component selected from a sweetener component, a component for preventing bad odor from the oral cavity, a flavor component and a dental  
10 anti-caries component, or mixtures of these components.
3. A composition for application to the oral cavity according to claim 1 or 2, wherein a sweetener having a high degree of sweetness is present as said sweetener component.
- 15 4. A composition for application to the oral cavity according to claim 3, wherein  $\alpha$ -L-aspartyl-L-phenylalanine methyl ester is present as said sweetener having a high degree of sweetness.
5. A composition for application to the oral cavity according to any of the claims 1 to 4, wherein the molecular weight of  $\beta$ -2,1 linked fructose polymer is in the range of 2,000 to 50,000,000.

20

25

30

35

40

45

50

55



European Patent  
Office

# EUROPEAN SEARCH REPORT

Application Number

EP 92 10 2104

DOCUMENTS CONSIDERED TO BE RELEVANT			
Category	Citation of document with indication, where appropriate, of relevant passages	Relevant to claim	CLASSIFICATION OF THE APPLICATION (Int. Cl. 5)
A	EP-A-0 055 109 (WARNER-LAMBERT CO) * abstract; claims 1-3 * ---	1,2	A 23 G 3/00 A 23 G 3/30 A 61 K 7/16
A	EP-A-0 377 278 (CULTOR LTD) * abstract; claims 1-20 * ---	1,4	
A	EP-A-0 059 535 (MENLEY & JAMES LABORATORIES LTD) * claims 1-12 * ---	1	
D,A	DATABASE WPIL Derwent Publications Ltd., London, GB; DATABASE WPIL, accession no. 86-036833, week 06; & JP - A - 61018779 (HOFFMANN LA ROCHE AG) 27.01.1986; & EP - A - 170019 -----	1	
			TECHNICAL FIELDS SEARCHED (Int. Cl. 5)
			A 23 G 3/00 A 61 K 7/00
The present search report has been drawn up for all claims			
Place of search BERLIN		Date of completion of the search 04-05-1992	Examiner SCHULTZE D
CATEGORY OF CITED DOCUMENTS		T : theory or principle underlying the invention E : earlier patent document, but published on, or after the filing date D : document cited in the application L : document cited for other reasons	
X : particularly relevant if taken alone Y : particularly relevant if combined with another document of the same category A : technological background O : non-written disclosure P : intermediate document		A : member of the same patent family, corresponding document	